

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
5 February 2004 (05.02.2004)

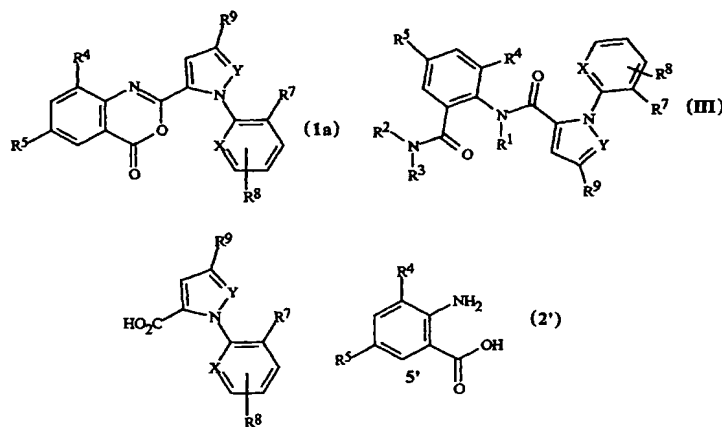
PCT

(10) International Publication Number
WO 2004/011447 A3

- (51) International Patent Classification⁷: **C07D 413/14**, 401/04
- (21) International Application Number: PCT/US2003/023821
- (22) International Filing Date: 29 July 2003 (29.07.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/400,352 31 July 2002 (31.07.2002) US
60/446,438 11 February 2003 (11.02.2003) US
- (71) Applicant (for all designated States except US): **E.I. DU PONT DE NEMOURS AND COMPANY** [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): **TAYLOR, Eric, deGuyon** [US/US]; 27 Tenby Chase Drive, Newark, DE 19711 (US).
- (74) Agent: **HEISER, David, E.**; E.I. DU PONT DE NEMOURS AND COMPANY, LEGAL PATENT RECORDS CENTER, 4417 Lancaster Pike, Wilmington, DE 19805 (US).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report

[Continued on next page]

(54) Title: METHOD FOR PREPARING FUSED OXAZINONES FROM ORTHO-AMINO AROMATIC CARBOXYLIC ACID AND A CARBOXYLIC ACID IN THE PRESENCE OF A SULFONYL CHLORIDE AND PYRIDINE



(57) Abstract: A method for preparing a fused oxazinone is disclosed in which (1) a carboxylic acid is contacted with a sulfonyl chloride in the presence of an optionally substituted pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5; (2) the mixture prepared in (1) is contacted with an *ortho*-amino aromatic carboxylic acid in the presence of an optionally substituted pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and (3) additional sulfonyl chloride is added to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5. Also disclosed is a method for preparing a compound of Formula III, using a compound of Formula 1a that is characterized by preparing the fused oxazinone of Formula 1a by the method above, using a compound of the formula LS(O)₂Cl as the sulfonyl chloride, a compound of Formula 2' as the carboxylic acid, and a compound of Formula 5' as the *ortho*-amino aromatic carboxylic acid (FORMULA 1a) (FORMULA III) (FORMULA 2') (FORMULA 5') wherein L, X, Y and R¹ through R⁹ are as defined in the disclosure.



— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(88) Date of publication of the international search report:
18 March 2004

INTERNATIONAL SEARCH REPORT

Inte Application No

PCT/US 3821

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D413/14 C07D401/04

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

WPI Data, CHEM ABS Data, EPO-Internal

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	RAMANA ET AL: "FACILE SYNTHESIS OF 2-ARYL-4H-3,1-BENZOXAZIN-4-ONES" ORG. PREP. PROCED. INT., vol. 25, 1993, pages 588-590, XP009023796 cited in the application page 589	1-11
P, X	WO 03 015518 A (DU PONT (US)) 27 February 2003 (2003-02-27) example 6, step D example 8, step E examples 18,19	1-11
P, X	WO 03 015519 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 1 and 2 examples 13,14	1-11



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

15 January 2004

Date of mailing of the international search report

26/01/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax (+31-70) 340-3016

Authorized officer

Cortés, J

INTERNATIONAL SEARCH REPORT

International Application No.
 PCT/US 03/00821

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 03 016282 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 5 and 7	1-11
P, X	WO 03 016283 A (DU PONT (US)) 27 February 2003 (2003-02-27) schemes 5 and 7	1-11

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inter national Application No

PCT/US/03/00821

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 03015518	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03015519	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03016282	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003
WO 03016283	A	27-02-2003	WO 03016282 A2	27-02-2003
			WO 03015518 A1	27-02-2003
			WO 03016283 A1	27-02-2003
			WO 03015519 A1	27-02-2003